

Drug mobility in PLGA-based microparticles and free films: A quantitative treatment

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Purpose: To prepare and physicochemically characterize different-sized ibuprofen and lidocaine-loaded microparticles and free films based on poly(lactic-co-glycolic acid) (PLGA). **Methods:** PLGA-based microparticles and free films were prepared with a solvent extraction/evaporation technique and by solvent casting. Lidocaine and ibuprofen were incorporated as model drugs. DSC, SEC, SEM, optical microscopy and in vitro drug release studies were used to characterize the systems. **Results:** Interestingly, ibuprofen release was much more rapid than that of lidocaine (e.g. 100% release after 45 vs. 7d from microparticles), despite of the very similar drug loading, size and morphology of the systems. This could not be attributed to differences in PLGA degradation. Importantly, diffusion was found to be the dominating mass transport mechanism in all cases. The determined apparent drug diffusivities significantly increased with increasing microparticle size, and were significantly higher for ibuprofen compared to lidocaine (e.g. 7.7×10^{-12} vs. 2.0×10^{-12} cm²/s for microparticles with R=53µm). Importantly, the drug diffusivities were much lower in microparticles than in films of comparable thickness. **Conclusion:** The mobility of a drug in PLGA-based matrices does not only depend on its physicochemical properties, but also to a large extent on the size and shape of the device.

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